

| r | Hits | Search Text | DB | Time stamp |
|---|------|--|-------|------------|
| | 2612 | ("514/256,266.1") .CCLS | USPAT | 2004/04/20 |
| | 1840 | ("544/242,253,283,293") .CCLS | USPAT | 2004/04/20 |
| | 337 | ((("514/256,266.1") .CCLS) and ((("544/242,253,283,293") .CCLS)) and | USPAT | 2004/04/20 |
| | 75 | ((("514/256,266.1") .CCLS) and ((("544/242,253,283,293") .CCLS)) and | USPAT | 2004/04/20 |
| | | quinazoline | USPAT | 2004/04/20 |
| | 2 | ((("514/256,266.1") .CCLS) and ((("544/242,253,283,293") .CCLS)) and 7-amino | USPAT | 2004/04/20 |
| | 5 | ((("514/256,266.1") .CCLS) and ((("544/242,253,283,293") .CCLS)) and | USPAT | 2004/04/20 |
| | | quinazoline) and 4-amino | USPAT | 2004/04/20 |

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NEWS 4 JAN 27 A new search aid, the Company Name Thesaurus, available in CA/CAPLUS
NEWS 5 FEB 05 German (DE) application and patent publication number format changes
NEWS 6 MAR 03 MEDLINE and LMEDLINE reloaded
NEWS 7 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 8 MAR 03 FRANCEPAT now available on STN
NEWS 9 MAR 29 Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29 WPIFV now available on STN
NEWS 11 MAR 29 No connect hour charges in WPIFV until May 1, 2004
NEWS 12 MAR 29 New monthly current-awareness alert (SDI) frequency in RAPRA

NEWS EXPRESS MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
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FILE 'HOME' ENTERED AT 09:46:04 ON 20 APR 2004

=> FIL STNGUIDE
COST IN U.S. DOLLARS

FILL ESTIMATED COST

| SINCE FILE ENTRY | TOTAL SESSION |
|---------------------|------------------|
| 0.21 | 0.21 |

FILE 'STNGUIDE' ENTERED AT 09:46:21 ON 20 APR 2004
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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Apr 16, 2004 (20040416/UP).

| => FIL HOME | COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---------------------|----------------------|------------------|---------------|
| FULL ESTIMATED COST | | 0.06 | 0.27 |

FILE 'HOME' ENTERED AT 09:46:26 ON 20 APR 2004

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| FULL ESTIMATED COST | | 0.21 | 0.48 |

FILE 'REGISTRY' ENTERED AT 09:46:35 ON 20 APR 2004

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 APR 2004 HIGHEST RN 676118-37-9
DICTIONARY FILE UPDATES: 18 APR 2004 HIGHEST RN 676118-37-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

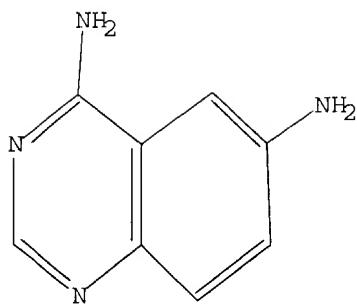
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
Uploading c:\program files\stnexp\queries\10016280.18

L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s 11 sss full
FULL SEARCH INITIATED 09:47:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3965 TO ITERATE
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100.0% PROCESSED 3965 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

L2 20 SEA SSS FUL L1

FILE 'MARPAT' ENTERED AT 09:47:14 ON 20 APR 2004
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FILE CONTENT: 1988-PRESENT (VOL 140 ISS 16) (20040416/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

| | | | | |
|----|------------|----|-----|------|
| US | 6706759 | 16 | MAR | 2004 |
| DE | 10335606 | 11 | MAR | 2004 |
| EP | 1396268 | 10 | MAR | 2004 |
| JP | 2004095205 | 25 | MAR | 2004 |
| WO | 2004022766 | 18 | MAR | 2004 |

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

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=> S 11 SSS full
FULL SEARCH INITIATED 09:47:20 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 2733 TO ITERATE
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100.0% PROCESSED 2733 ITERATIONS 93 ANSWERS
SEARCH TIME: 00.00.13

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|----------------------|--|------------|---------|
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| COST IN U.S. DOLLARS | | ENTRY | SESSION |
| FULL ESTIMATED COST | | 109.42 | 265.32 |

FILE 'CAPLUS' ENTERED AT 09:47:44 ON 20 APR 2004
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FILE COVERS 1907 - 20 Apr 2004 VOL 140 ISS 17
 FILE LAST UPDATED: 19 Apr 2004 (20040419/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12
 L4 70 L2

=> s 13
 L5 93 L3

=> s 14 and 15
 L6 3 L4 AND L5

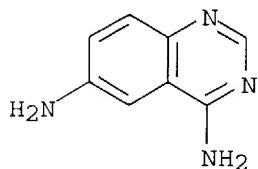
=> d 16 fbib hitstr abs total

L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:833347 CAPLUS
 DN **135:358167**
 TI Preparation of peptides as thrombin inhibitors
 IN Kikelj, Danijel; Peterlin, Lucija; Marinko, Petra; Breznik, Matej;
 Stregnar, Mojca; Trampuz, Bakija Alenka; Fortuna, Marjana
 PA LEK Pharmaceutical & Chemical Co., Slovenia; University of Ljubljana;
 Browne, Robin Forsythe
 SO PCT Int. Appl., 106 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

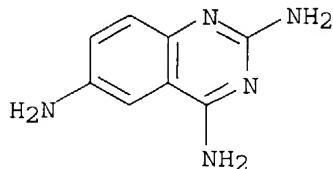
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| ----- | --- | ----- | ----- | ----- |
| PI WO 2001085760 | A1 | 20011115 | WO 2001-GB1997 | 20010504 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CP, CU, CZ, DE, DK, DM, DZ, EP, ES, FI, FR, GR, HU, GM | | | | |

| | |
|---|---------------------------|
| LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, | |
| RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, | |
| VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, | |
| DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, | |
| BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | |
| | SI 2000-111 A 20000505 |
| SI 20582 C 20011231 | SI 2000-111 20000505 |
| EP 1287018 A2 20030305 | EP 2001-925739 20010504 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | |
| IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | |
| | SI 2000-111 A 20000505 |
| | WO 2001-GB1997 W 20010504 |
| US 2003191139 A1 20031009 | US 2003-275215 20030131 |
| | SI 2000-111 A 20000505 |
| | WO 2001-GB1997 W 20010504 |

OS MARPAT 135:358167
IT 159382-23-7, 4,6-Quinazolinediamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of peptides as thrombin inhibitors)
RN 159382-23-7 CAPLUS
CN 4,6-Quinazolinediamine (9CI) (CA INDEX NAME)



IT 13741-90-7P, 2,4,6-Quinazolinetriamine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of peptides as thrombin inhibitors)
RN 13741-90-7 CAPLUS
CN 2,4,6-Quinazolinetriamine (9CI) (CA INDEX NAME)



AB Compds. of D-CO-B-A-Het [Het is a heterocyclic moiety of defined structure, e.g., 5,6,7,8-tetrahydro-2-quinazolinamine, 4,5,6,7-tetrahydro-2H-indazol-2-ylamine, and 4,5,6,7-tetrahydro-1,3-benzothiazol-2-ylamine; A is CONH, CH₂NH, CONHCH₂, CH₂NHCH₂, CH₂NHCONH, CH₂NHCH₂CONH, CH₂NHCOCH₂NH, CH₂NHCONHCH₂, CH₂NHCH₂CONHCH₂ or CH₂NHCOCH₂NHCH₂; B is 1,2-pyrrolidinediyl or 4-hydroxy derivative, 1,5-thiazolidinediyl, 1,2-piperidinediyl, NR₃CHR₄ (R₃, R₄ = H, C₁-C₄ alkyl, C₃-C₇ cycloalkyl); D is R_cR_dCH (R_c is NH₂, alkylamino, hydroxylalkylamino, carbonylalkylamino, etc. R_d is H, CH₃CH₂, CH₂CH₃, etc.)

acceptable salts were prepared as thrombin inhibitors. Thus, (2S)-N-(2-amino-4,5,6,7-tetrahydro-1,3-benzothiazol-6-yl)-1-[(2R)-2-[(benzylsulfonyl)amino]-3-cyclohexylpropanoyl]-2-pyrrolidinecarboxamide, prepared by coupling of N-(benzylsulfonyl)- β -cyclohexyl-D-Ala-L-Pro-OH with 4,5,6,7-tetrahydro-1,3-benzothiazole-2,6-diamine dihydrobromide, showed K_i = 0.12 and >68.3 μ M for inhibition of thrombin and trypsin, resp.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:467270 CAPLUS
DN **125:168006**
TI Preparation of 2,4-diaminoquinazolines as insecticides
IN Henrie, Robert N., II; Peake, Clinton J.; Cullen, Thomas G.; Lew, Albert C.; Chaguturu, Munirathnam K.; Ray, Partha S.; Yeager, Walter H.; Silverman, Ian R.; Buser, John W.; et al.
PA FMC Corp., USA
SO U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 149,491, abandoned.
CODEN: USXXAM

DT Patent
LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|----------|
| PI | US 5534518 | A | 19960709 | US 1994-267340 | 19940628 |
| | | | | US 1993-19389 | 19930218 |
| | | | | US 1993-149491 | 19931109 |
| | ZA 9401038 | A | 19940825 | ZA 1994-1038 | 19940215 |
| | | | | US 1993-19389 | 19930218 |
| | US 5616718 | A | 19970401 | US 1995-426541 | 19950420 |
| | | | | US 1993-19389 | 19930218 |
| | | | | US 1993-149491 | 19931109 |
| | US 5874579 | A | 19990223 | US 1994-267340 | 19940628 |
| | | | | US 1996-640610 | 19960501 |
| | | | | US 1993-19389 | 19930218 |
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| | | | | US 1994-267340 | 19940628 |

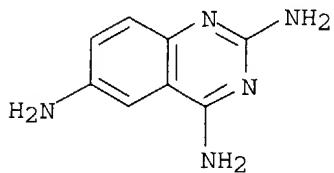
PATENT FAMILY INFORMATION:

FAN 1994:695126

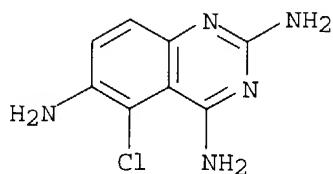
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|----------|
| PI | WO 9418980 | A1 | 19940901 | WO 1994-US1658 | 19940217 |
| | W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ, VN | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | US 1993-19389 | 19930218 |
| | | | | US 1993-149491 | 19931109 |
| | ZA 9401038 | A | 19940825 | ZA 1994-1038 | 19940215 |
| | | | | US 1993-19389 | 19930218 |
| | AU 9462986 | A1 | 19940914 | AU 1994-62986 | 19940217 |
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| | | | | US 1993-149491 | 19931109 |
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US 1993-19389 19930218
 US 1993-149491 19931109
 WO 1994-US1658 19940217

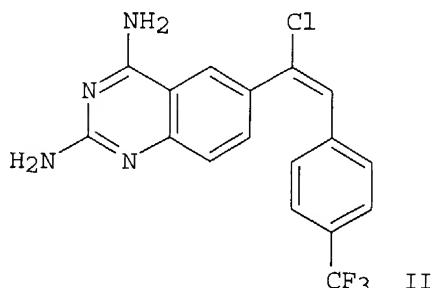
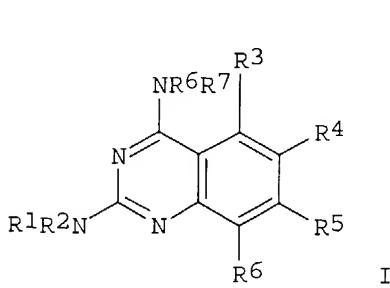
OS MARPAT 125:168006
 IT **13741-90-7P**, 2,4,6-Quinazolinetriamine
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 2,4-diaminoquinazolines as insecticides)
 RN 13741-90-7 CAPLUS
 CN 2,4,6-Quinazolinetriamine (9CI) (CA INDEX NAME)



IT **17511-20-5P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 2,4-diaminoquinazolines as insecticides)
 RN 17511-20-5 CAPLUS
 CN 2,4,6-Quinazolinetriamine, 5-chloro- (9CI) (CA INDEX NAME)



GI



AB Title compds. [I; R1,R6 = H or alkyl; R2,R7 = H, alkyl, alkanoyl, alkoxy carbonyl, etc.; R1R2 = O-interrupted alkylene; R1R2,R6R7 = dialkylaminomethylene, pyrrolidinomethylene, etc.; R3,R5,R6 = H halo, alkyl alkoxy etc.; R4 = H halo alkyl alkoxy substituted etc.]

was converted in 4 steps to 2-amino-5-ethynyl-6-methylbenzonitrile which was arylated with 4-IC6H4CF3 and the product condensed with C1C(:NH)NH2.HCl to give title compound II which gave 90 and 100% kill of *Trichoplusia ni* and *Spodoptera exigua*, resp., at 30ppm foliar spray.

L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:695126 CAPLUS
 DN **121:295126**
 TI Preparation of insecticidal substituted 2,4-diaminoquinazolines.
 IN Henrie, Robert Neil, II; Peake, Clinton Joseph; Cullen, Thomas Gerard; Lew, Albert C.; Chaguturu, Munirathnam Krishnappa; Ray, Partha Sarathi
 PA FMC Corp., USA
 SO PCT Int. Appl., 152 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|----------|
| PI | WO 9418980 | A1 | 19940901 | WO 1994-US1658 | 19940217 |
| | W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ, VN | | | US 1993-19389 | 19930218 |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | US 1993-149491 | 19931109 |
| ZA | 9401038 | A | 19940825 | ZA 1994-1038 | 19940215 |
| | | | | US 1993-19389 | 19930218 |
| AU | 9462986 | A1 | 19940914 | AU 1994-62986 | 19940217 |
| | | | | US 1993-19389 | 19930218 |
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| | | | | WO 1994-US1658 | 19940217 |
| EP | 684824 | A1 | 19951206 | EP 1994-910694 | 19940217 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI | | | US 1993-19389 | 19930218 |
| | | | | US 1993-149491 | 19931109 |
| | | | | WO 1994-US1658 | 19940217 |

PATENT FAMILY INFORMATION:

FAN 1996:467270

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI | US 5534518 | A | 19960709 | US 1994-267340 | 19940628 |
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| | | | | US 1993-149491 | 19931109 |
| ZA | 9401038 | A | 19940825 | ZA 1994-1038 | 19940215 |
| | | | | US 1993-19389 | 19930218 |
| US | 5616718 | A | 19970401 | US 1995-426541 | 19950420 |
| | | | | US 1993-19389 | 19930218 |
| | | | | US 1993-149491 | 19931109 |
| US | 5874579 | A | 19990223 | US 1994-267340 | 19940628 |
| | | | | US 1996-640610 | 19960501 |
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| | | | | US 1994-267340 | 19940628 |

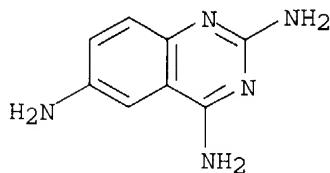
OS MARPAT 121:295126

TT 13741-90-7D 2 1 6 Quinazolin-4-amin-6-ethynyl-2-methyl-5-nitro-

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of insecticidal diaminoquinazolines)

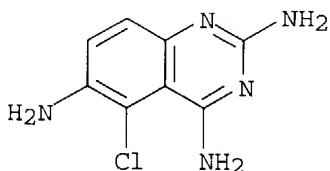
RN 13741-90-7 CAPLUS

CN 2,4,6-Quinazolinetriamine (9CI) (CA INDEX NAME)



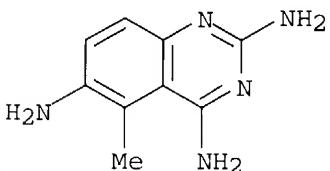
RN 17511-20-5 CAPLUS

CN 2,4,6-Quinazolinetriamine, 5-chloro- (9CI) (CA INDEX NAME)



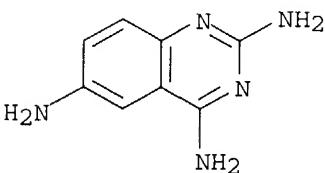
RN 17511-22-7 CAPLUS

CN 2,4,6-Quinazolinetriamine, 5-methyl- (9CI) (CA INDEX NAME)



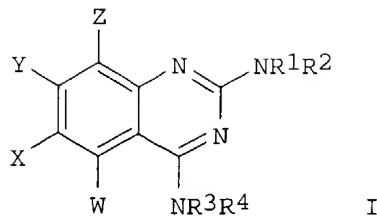
RN 159018-74-3 CAPLUS

CN 2,4,6-Quinazolinetriamine, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

GI



AB The title compds. I [R1= H, alkyl; R2,R3= R1, alkylcarbonyl, alkoxy carbonyl; R4 = H; R1R2= alkylenoxyalkylene; W, Y, Z = H, halo, (halo)alkyl, (halo)alkoxy, (un)substituted thienyl or aroyl, etc.; X = H, halo, (halo)alkyl, NHCH₂C₆H₄CO₂H-4, etc.] are prepared as insecticides. 2-Amino-6-methyl-5-[3,5-di(trifluoromethyl)phenyl]benzonitrile (preparation given) was reacted with chloroformamidine-HCl (preparation given) in diglyme, to yield 2,4-diamino-6-methyl-5-[3,5-di(trifluoromethyl)phenyl]quinazoline (II). Diets containing 4% II were lethal to the tobacco budworm (*Heliothis virescens*).

=> d his

(FILE 'HOME' ENTERED AT 09:46:04 ON 20 APR 2004)

FILE 'STNGUIDE' ENTERED AT 09:46:21 ON 20 APR 2004

FILE 'HOME' ENTERED AT 09:46:26 ON 20 APR 2004

FILE 'REGISTRY' ENTERED AT 09:46:35 ON 20 APR 2004
L1 STRUCTURE uploaded
L2 20 S.I. SSS FULL

FILE 'MARPAT' ENTERED AT 09:47:14 ON 20 APR 2004
L3 93 S L1 SSS FULL.

FILE 'CAPPLUS' ENTERED AT 09:47:44 ON 20 APR 2004
L4 70 S L2
L5 93 S L3
L6 3 S L4 AND L5

=> S 14 and quinazoline
L7 47 L4 AND QUINAZOLINE

=> s 17 and 7-cycloalkyl
L8 0 17 AND 7-CYCLOALKYL

=> s 17 and cycloalkyl

=> s 15 and cycloalkyl

=> s 110 and quinazoline
L11 7 L10 AND QUINAZOLINE

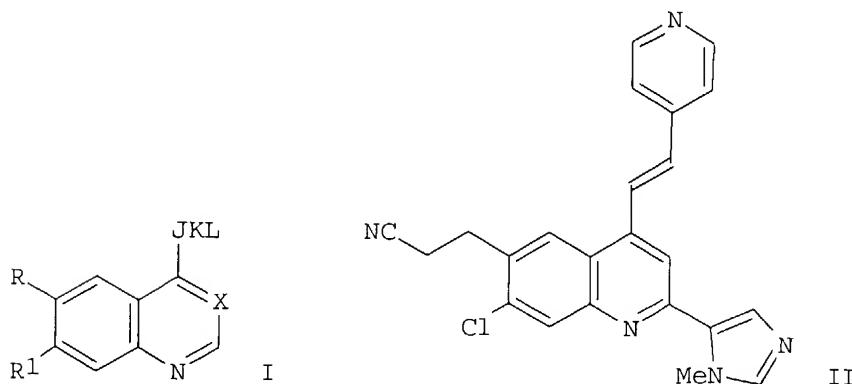
L12

1 L4 AND CYCLOALKYL

=> d 111 fbib hitstr abs total

L11 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:454316 CAPLUS
 DN **139:36536**
 TI Preparation of quinoline and **quinazoline** derivatives as
 inflammation modulators
 IN Cushing, Timothy D.; He, Xiao; Smith, Marie-Louise; Degraffenreid, Michael
 R.; Powers, Jay; Tomooka, Craig S.; Clark, David L.; Hao, Xiaolin; Jaen,
 Juan C.; Labelle, Marc; Walker, Nigel P. C.; Gill, Adrian L.; Talamas,
 Francisco X.; Labadie, Sharada S.
 PA Tularik Inc., USA; F. Hoffmann-La Roche AG
 SO PCT Int. Appl., 102 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--|------|----------|------------------|----------|
| PI | WO 2003048152 | A2 | 20030612 | WO 2002-US39134 | 20021204 |
| | WO 2003048152 | A3 | 20031016 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 2003181472 | A1 | 20030925 | US 2001-337460PP | 20011205 |
| | | | | US 2002-314428 | 20021204 |
| | | | | US 2001-337460PP | 20011205 |
| OS | MARPAT 139:36536 | | | | |
| GI | | | | | |

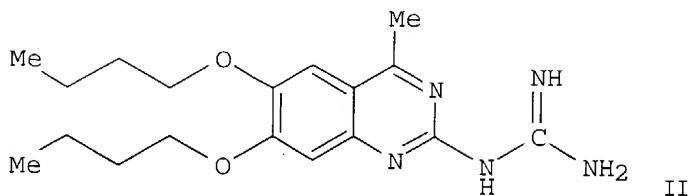
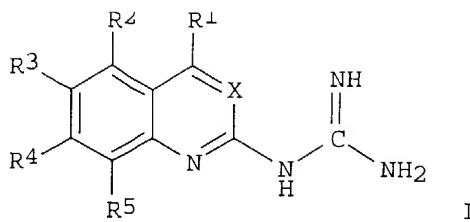


alkynylene, CO, C:S, (un)substituted C:NH, NH, CONH, CSNH, C(:NH)NH, CH:N, O, S, S(O), SO₂, alkylamino, alkyleneoxy; K = bond, alkylene, CO, CS, O, S, S(O), SO₂, (un)substituted C:NH, NH; L = H, (un)substituted OH, alkyl, heteroalkyl, aryl, heteroaryl, NH₂, acyl, thioacyl, CH:NH, carbamoyl, thiocarbamoyl, CO₂H; JK, JL, KL = heterocyclic; B = 5-6-membered heteroarom.; R, R₁ = H, halogen, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, alkylthio, NH₂, **cycloalkyl**, heterocyclic, CN, NO₂, acyl, alkoxy carbonyl, CONH₂, SO₂NH₂] were prepared for use in the treatment of inflammatory, immunoregulatory, metabolic and cell proliferative conditions or diseases. Thus, 5-chloroisatin was iodinated, cyclized with 5-acetyl-1-methyl-2-tert.-butyldimethylsilylimidazole, substituted with CH₂:CHCN, reduced, and treated with 4-methylpyridine to give the quinoline II. I had IC₅₀ ≤ 30 μM for inhibition of IKKβ.

L11 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:261684 CAPLUS
 DN 138:287692
 TI Preparation of quinazolino- and quinolinoguanidines as ligands for neuropeptide FF (NPFF) receptors
 IN Kawakami, Joel K.; Konkel, Michael J.; Boteju, Lakmal W.; Wetzel, John M.; Noble, Stewart A.; Wan, Honghe
 PA Synaptic Pharmaceutical Corporation, USA
 SO PCT Int. Appl., 205 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|------------------|----------|
| PI | WO 2003026667 | A1 | 20030403 | WO 2002-US30259 | 20020924 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | | US 2001-963129 A | 20010924 |

OS MARPAT 138:287692
 GI



AB Title compds. I [X = CH, C(CH₃), N; R1-5 = H, alk(en/yn)yl, **cycloalkyl**, aryl, etc.] are prepared. For instance, 1,2-dibutoxy-4-nitrobenzene was reduced (MeOH, Cu(OAc)₂, NaBH₄) to the corresponding aniline and reacted with acetone (MgSO₄, tert-butylcatechol, I₂) to give 6,7-dibutoxy-2,2,4-trimethyl-1,2-dihydroquinoline. This intermediate was reacted with cyanoguanidine (HClaq, reflux) to give II. II has Ki = 303 nM for the rat neuropeptide FF1 (rNPFF1) receptor and Ki = 1299 nM for the rNPFF2 receptor. I are useful for the treatment of pain and incontinence.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:33802 CAPLUS
DN **138:89822**
TI Preparation of **quinazolines** and metabotropic glutamate receptor antagonists
IN Itahana, Hirotsume; Uekubo, Takashi; Nozawa, Shigenori; Kako, Hideki; Okada, Shoji; Totani, Atsushi
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF

DT Patent

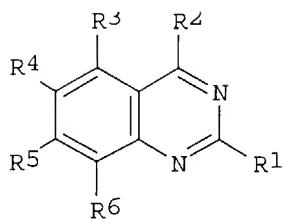
LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|------|----------|-----------------|----------|
| PI | JP 2003012653 | A2 | 20030115 | JP 2001-196750 | 20010628 |
| | | | | JP 2001-196750 | 20010628 |

OS MARPAT 138:89822

GI



I

AB Metabotropic glutamate receptor antagonists comprise **quinazolines** I (R1 = H, halo, OH, lower alkyl, lower halogenoalkyl, etc.; R2 = XR7, N:R8; X = NR9, O, S, NR10CO, C(O), C(O)NR10, etc.; R7 = H, lower alkyl, (un)substituted, (un)bridged C6-10 **cycloalkyl**, (un)substituted saturated heterocyclyl; R8 = **cycloalkyl**; R9, R10 = H, lower alkyl; R7R9 may form (un)substituted saturated heterocyclyl; R3-R6 = halo, NO₂, YR11; Y = single bond, O, NR12, S, lower alkylene, etc.; R11 = H, lower alkyl, heterocyclyl, aryl, **cycloalkyl**, etc.; R12 = H, lower alkyl) or their pharmaceutically acceptable salts. 4-Chloroquinazoline (150 mg) was treated with 187 mg thiomorpholine in the presence of CaCO₃ in DMF at 60° and treated with HCl for 3 h to give 190 mg 4-(thiomorpholin-1-yl)**quinazoline** hydrochloride. I (R1 = R3-R6 = H, R2 = cyclohexylmethylamino) showed inhibition activity against binding mGluR1 with (6-amino-N-cyclohexyl-N,3-dimethylthiazolo[3,2-a]benzimidazole-2-carboxamide) with IC₅₀ of 0.2 μm.

L11 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:240746 CAPLUS
 DN 136:279468
 TI Preparation of 4-amino-**quinazolines** useful as glycoprotein IbIX antagonists, and their use for control of thrombotic disorders
 IN Mederski, Werner; Devant, Ralf; Barnickel, Gerhard; Bernnotat-Danielowski, Sabine; Vickers, James; Cezanne, Bertram; Dhanoa, Daljit; Zhao, Bao-Ping; Rinker, James; Player, Mark R.; Jaeger, Edward; Soll, Richard
 PA Merck Patent G.m.b.H., Germany
 SO PCT Int. Appl., 83 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|------------------|----------|
| PI | WO 2002024667 | A1 | 20020328 | WO 2001-EP10705 | 20010917 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | US 2000-666908 A | 20000920 |
| AU | 2001093817 | A5 | 20020402 | AU 2001-93817 | 20010917 |
| | | | | US 2000-666908 A | 20000920 |
| | | | | WO 2001-EP10705W | 20010917 |

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2000-666908 A 20000920

WO 2001-EP10705W 20010917

BR 2001014020 A 20030722

BR 2001-14020 20010917

US 2000-666908 A 20000920

WO 2001-EP10705W 20010917

JP 2004509876 T2 20040402

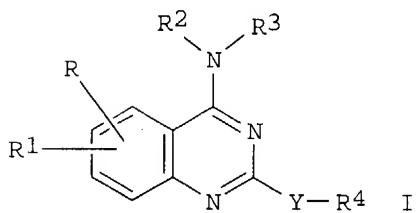
JP 2002-529077 20010917

US 2000-666908 A 20000920

WO 2001-EP10705W 20010917

OS MARPAT 136:279468

GI



AB The preparation of 4-amino-**quinazolines** [I; wherein R, R1, independently = H, (C1-C6)alkyl, OH, (C1-C6)alkoxy, amino, nitro, cyano, etc.; R2,R3, independently = H,(C1-C6)alkyl, **cycloalkyl**, mono- or bicycloheterocyclic radical, etc.; R4 = aryl (e.g., Ph, naphthyl, biphenyl, etc.), or thiophen-2-yl substituted with aryl (as described *supra*) or heterocyclic radical, etc.; each of R, R1-R4 with many provisos] is described. Thus, [2-(4-bromophenyl)-7-chloroquinazolin-4-yl]-phenylamine was prepared by a multistep synthesis. The prepared compds. are useful as glycoprotein IbIX antagonists (no data) for the control of thrombotic disorders and sequelae deriving thereof.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:240745 CAPLUS

DN **136:279467**

TI Preparation of quinazolin-4-ylamines as glycoprotein IbIX antagonists.

IN Mederski, Werner; Devant, Ralf; Barnickel, Gerhard; Bernotat-Danielowski, Sabine; Vickers, James; Cezanne, Bertram; Dhanoa, Daljit; Zhao, Bao-Ping; Rinker, James; Player, Mark R.; Jaeger, Edward; Soll, Richard

PA Merck Patent G.m.b.H., Germany

SO PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DT Patent

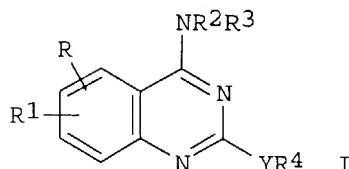
LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|------|----------|-----------------|----------|
| PI | WO 2002024666 | A2 | 20020328 | WO 2001-EP10704 | 20010917 |
| | WO 2002024666 | A3 | 20020926 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EG, ES, FR, GE, GR, HU, ID, IL, IS, IT, JP, KR, LV, MT, NL, NO, PT, SE, SI, TR, UK, US, VN, ZA

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
 UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 US 2000-666117 A 20000920
 AU 2002013923 A5 20020402 AU 2002-13923 20010917
 US 2000-666117 A 20000920
 WO 2001-EP10704W 20010917
 EP 1318985 A2 20030618 EP 2001-982300 20010917
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2000-666117 A 20000920
 WO 2001-EP10704W 20010917
 BR 2001014021 A 20030819 BR 2001-14021 20010917
 US 2000-666117 A 20000920
 WO 2001-EP10704W 20010917
 JP 2004509875 T2 20040402 JP 2002-529076 20010917
 US 2000-666117 A 20000920
 WO 2001-EP10704W 20010917
 NO 2003001267 A 20030519 NO 2003-1267 20030319
 US 2000-666117 A 20000920
 WO 2001-EP10704W 20010917
 US 2003-380909 20030320
 US 2000-666117 A 20000920
 WO 2001-EP10704W 20010917
 OS MARPAT 136:279467
 GI



AB Title compds. [I; R, R1 = H, alkyl, halo, amino, NO₂, cyano, allyl, (substituted) Ph, etc.; R₂, R₃ = H, alkyl, **cycloalkyl**, (substituted) heterocyclyl, hydroxylalkyl, etc.; NR₂R₃ = (substituted) heterocyclyl; R₄ = (substituted) aryl, heterocyclyl; Y = (CH:CH)_n; n = 1, 2; with provisos], were prepared for treatment of thrombotic disorders (no data). Thus, 4-chloro-2-(2-naphthalen-1-ylvinyl)**quinazoline** and 1,3-bis(aminomethyl)cyclohexane were heated in EtOH at 80° for 3 h to give 4-[N-(3-aminomethylcyclohexylmethyl)amino]-2-(2-naphthalen-1-ylvinyl)**quinazoline**.

L11 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1999:172597 CAPLUS

DN 130:209716

TI Preparation of 2-vinyl-4-aminoquinazoline derivatives as insulin secretion promoters and antidiabetics

IN Ueno, Kimihisa; Nomoto, Yuji; Takasaki, Kotaro; Yoshida, Miho; Kusaka, Hideo; Yano, Hiroshi; Nakamichi, Satoshi; Matsuda, Yuki

PA Kyowa Hakko Kogyo Co., Ltd., Japan; et al.
SO PCT Int. Appl., 113 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|----------|
| PI | WO 9909986 | A1 | 19990304 | WO 1998-JP3711 | 19980821 |
| | W: AU, BG, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU | 9887487 | A1 | 19990316 | JP 1997-225963 | 19970822 |
| | | | | AU 1998-87487 | 19980821 |
| | | | | JP 1997-225963 | 19970822 |
| | | | | WO 1998-JP3711 | 19980821 |

OS MARPAT 130:209716

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Claimed are insulin secretion promoters and remedies for diabetes which contain as the active ingredient 2-vinyl-4-aminoquinazoline derivs. represented by general formula (I) or pharmcol. acceptable salts thereof [wherein R1A and R1B are the same or different and each represents hydrogen, lower alkyl, lower alkoxy, halogeno, nitro, NR3R4 (wherein R3 and R4 are the same or different and each represents hydrogen or lower alkyl), etc.; or R1A may form together with R1B adjacent thereto O(CH₂)_n (wherein n is 1 or 2); Cy represents optionally substituted aryl; R2 represents hydrogen or optionally substituted lower alkyl; and A represents hydrogen or optionally substituted lower alkyl, optionally substituted **cycloalkyl**, etc.; or R2 and A may form together with the nitrogen atom adjacent thereto an optionally substituted heterocycle]. These compds. exhibited insulin secretion activity at high concentration of glucose (14.5 mM) but no substantial activity at low concentration of glucose (\leq 5 mM). For comparison, glubenclamide did exhibit substantial insulin-secretion activity at low concentration of glucose. Thus, 7-chloro-7-methoxy-2-[2-(E)-(2,4-dimethoxyphenyl)vinyl]**quinazoline** was condensed with N-methylphenethylamine to give the title compound (II). II in vitro showed insulin secretion activity of 3,413 ng/mL at 1 μ M under 14.5 mM glucose and 86 ng/mL at 10 μ M under 5 mM glucose in spleen β -cells (MIN6) as compared to that of 684 ng/mL at 0.1 μ M under 14.5 mM glucose and 317 ng/mL at 0.1 μ M under 5 mM glucose for glubenclamide.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:495543 CAPLUS

DN 119:95543

TI Preparation of annelated **quinazoline** derivatives as acetylcholinesterase inhibitors for treatment of cognitive deficiency
TN Gregor Vlad Edward

SO PCT Int. Appl., 137 pp.

CODEN: PIXXD2

DT Patent

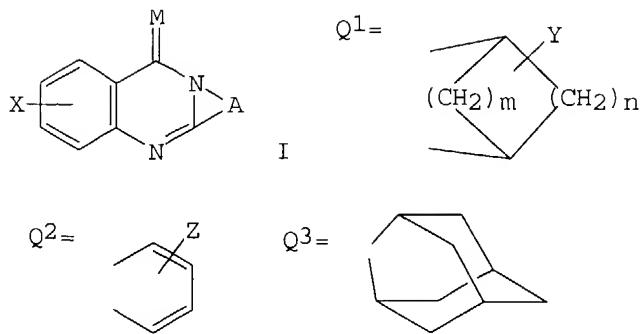
LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|----------|
| PI | WO 9303034 | A1 | 19930218 | WO 1992-US5864 | 19920722 |
| | W: AU, CA, CS, FI, HU, JP, KR, NO, RU | | | US 1991-736888 | 19910729 |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE | | | US 1992-911662 | 19920716 |
| | CA 2113115 | AA | 19930218 | CA 1992-2113115 | 19920722 |
| | | | | US 1991-736888 | 19910729 |
| | | | | US 1992-911662 | 19920716 |
| | AU 9223978 | A1 | 19930302 | AU 1992-23978 | 19920722 |
| | AU 665207 | B2 | 19951221 | US 1991-736888 | 19910729 |
| | | | | US 1992-911662 | 19920716 |
| | EP 597956 | A1 | 19940525 | WO 1992-US5864 | 19920722 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE | | | EP 1992-916726 | 19920722 |
| | | | | US 1991-736888 | 19910729 |
| | | | | US 1992-911662 | 19920716 |
| | HU 66324 | A2 | 19941128 | WO 1992-US5864 | 19920722 |
| | | | | HU 1994-258 | 19920722 |
| | | | | US 1991-736888 | 19910729 |
| | | | | US 1992-911662 | 19920716 |
| | CZ 281628 | B6 | 19961113 | CZ 1994-135 | 19920722 |
| | | | | US 1991-736888 | 19910729 |
| | | | | US 1992-911662 | 19920716 |
| | ZA 9205660 | A | 19940128 | ZA 1992-5660 | 19920728 |
| | | | | US 1991-736888 | 19910729 |
| | FI 9400393 | A | 19940311 | FI 1994-393 | 19940126 |
| | | | | US 1991-736888 | 19910729 |
| | | | | US 1992-911662 | 19920716 |
| | NO 9400305 | A | 19940328 | WO 1992-US5864 | 19920722 |
| | | | | NO 1994-305 | 19940128 |
| | | | | US 1991-736888 | 19910729 |
| | | | | US 1992-911662 | 19920716 |
| | US 5486512 | A | 19960123 | WO 1992-US5864 | 19920722 |
| | | | | US 1994-214911 | 19940317 |
| | | | | US 1991-736888 | 19910729 |
| | | | | US 1992-911662 | 19920716 |

OS MARPAT 119:95543

GI



AB Title compds. I; A = null, Q1-Q3, etc.; m = 0-10; n = 1-10; M = O, S, NR, :CRR1, RR1; X = null, 1-4 of halo, alkyl, alkenyl, alkynyl, (unsatd.) **cycloalkyl**, heterocycl, (hetero)aryl, amino, NO₂, alkylthio, perfluoroalkyl, perfluoroalkoxy, heteroarylcarbonyl, etc.; Y = H, OH, CO₂H, alkoxy, alkyl, aryl, heteroaryl, keto, alkoxy carbonyl, alkanoyl, etc.; Z = H, halo, alkyl, alkenyl, alkynyl, (unsatd.) **cycloalkyl**, heterocycl, heteroaryl, SH, OH, CO₂H, carboalkoxy, alkoxy, perfluoroalkyl, perfluoroalkoxy, etc.; R, R1 = H, OH, alkyl, alkenyl, alkynyl, OH, alkoxy, aryl, aryloxy, arylalkyl, heteroaryl, heteroarylalkyl; RR1 = atoms to form a 3-6 membered (heterocyclic) ring], were prepared. Thus, 4-chloroanthranilic acid was refluxed with 1-aza-2-methoxy-1-cycloheptene in C₆H₆ with azeotropic removal of H₂O to give 76.7% 3-chloro-6,7,8,9-tetrahydroazepino[2,1-b]quinazolin-12(6H)-one. This was heated with Zn/HOAc/HCl to give 3-chloro-6,7,8,9,10,12-hexahydroazepino[2,1-b]quinazoline. This inhibited human red blood cell acetylcholinesterase with IC₅₀ = 500 nM.

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FILE 'STNGUIDE' ENTERED AT 09:46:21 ON 20 APR 2004

FILE 'HOME' ENTERED AT 09:46:26 ON 20 APR 2004

FILE 'REGISTRY' ENTERED AT 09:46:35 ON 20 APR 2004

L1 STRUCTURE uploaded
L2 20 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 09:47:14 ON 20 APR 2004
L3 93 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:47:44 ON 20 APR 2004

L4 70 S L2
L5 93 S L3
L6 3 S L4 AND L5
L7 47 S L4 AND QUINAZOLINE
L8 0 S L7 AND 7-CYCLOALKYL
L9 0 S L7 AND CYCLOALKYL
L10 21 S L5 AND CYCLOALKYL
L11 7 S L10 AND QUINAZOLINE
L12 1 S L4 AND CYCLOALKYL

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The following are valid formats:

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ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATTS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
           SCAN must be entered on the same line as the DISPLAY,
           e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, IPC, and NCL

IABS ----- ABS, indented with text labels
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IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels

OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
           containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
           its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
           structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
           its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
           structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs
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To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.

ENTER DISPLAY FORMAT (BIB):end

=> d his

(FILE 'HOME' ENTERED AT 09:46:04 ON 20 APR 2004)

FILE 'STNGUIDE' ENTERED AT 09:46:21 ON 20 APR 2004

FILE 'HOME' ENTERED AT 09:46:26 ON 20 APR 2004

FILE 'REGISTRY' ENTERED AT 09:46:35 ON 20 APR 2004

L1 STRUCTURE uploaded
L2 20 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 09:47:14 ON 20 APR 2004
L3 93 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:47:44 ON 20 APR 2004
L4 70 S L2
L5 93 S L3
L6 3 S L4 AND L5
L7 47 S L4 AND QUINAZOLINE
L8 0 S L7 AND 7-CYCLOALKYL

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YOU HAVE RECEIVED 8 CONSECUTIVE ARROW PROMPTS (=>)
The arrow (=>) is the system prompt, where you enter a command. For an explanation of system commands, files, formats, etc., enter "HELP" and the name of the item you want explained at an arrow prompt (=>). Enter "HELP COMMANDS" for a list of commands that can be used in this file. Enter "HELP MESSAGES" for a list of online explanations that are available. The "?" can be used as a synonym for "HELP".

Help is also available at any prompt and after any error message.

After an error message, enter "HELP" or "?" at the next prompt and you will receive a more detailed explanation of the error and how to correct it.

Automatic help is also available. When AUHELP is 'ON', you will automatically receive help following an error message. For more information on AUHELP, enter "HELP SET AUHELP" at an arrow prompt (=>).

Users who need additional assistance can contact the Help Desk at their nearest STN Service Center. Enter "HELP STN" for information on STN Service Centers. You may also choose to contact the database representative for the file you are searching, for more detailed help on database content and search strategy. For information on how to contact database representatives for the current file, enter "HELP DESK" at an arrow prompt (=>).

IF YOU REQUIRE FURTHER HELP, PLEASE CONTACT YOUR LOCAL HELP DESK
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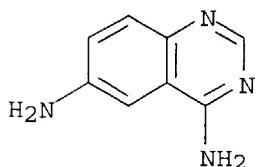
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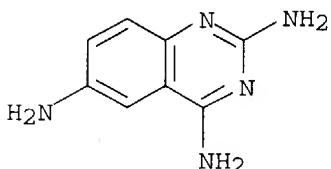
=> d his

(FILE 'HOME' ENTERED AT 09:46:04 ON 20 APR 2004)

OS MARPAT 135:358167
 IT **159382-23-7**, 4,6-Quinazolinediamine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of peptides as thrombin inhibitors)
 RN 159382-23-7 CAPLUS
 CN 4,6-Quinazolinediamine (9CI) (CA INDEX NAME)



IT **13741-90-7P**, 2,4,6-Quinazolinetriamine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of peptides as thrombin inhibitors)
 RN 13741-90-7 CAPLUS
 CN 2,4,6-Quinazolinetriamine (9CI) (CA INDEX NAME)



AB Compds. of D-CO-B-A-Het [Het is a heterocyclic moiety of defined structure, e.g., 5,6,7,8-tetrahydro-2-quinazolinamine, 4,5,6,7-tetrahydro-2H-indazol-2-ylamine, and 4,5,6,7-tetrahydro-1,3-benzothiazol-2-ylamine; A is CONH, CH2NH, CONHCH2, CH2NHCH2, CH2NHCONH, CH2NHCH2CONH, CH2NHCOCH2NH, CH2NHCONHCH2, CH2NHCH2CONHCH2 or CH2NHCOCH2NHCH2; B is 1,2-pyrrolidinediyl or 4-hydroxy derivative, 1,5-thiazolidinediyl, 1,2-piperidinediyl, NR3CHR4 (R3, R4 = H, C1-C4 alkyl, C3-C7 **cycloalkyl**); D is RcRdCH (Rc is NH2, alkylamino, hydroxylalkylamino, carboxyalkylamino, etc.; Rd is H, CH2OH, CH2SH, alkyl, cycloalkylalkyl, heterocyclylalkyl, arylalkyl) or their pharmaceutically acceptable salts were prepared as thrombin inhibitors. Thus, (2S)-N-(2-amino-4,5,6,7-tetrahydro-1,3-benzothiazol-6-yl)-1-[(2R)-2-[(benzylsulfonyl)amino]-3-cyclohexylpropanoyl]-2-pyrrolidinecarboxamide, prepared by coupling of N-(benzylsulfonyl)-β-cyclohexyl-D-Ala-L-Pro-OH with 4,5,6,7-tetrahydro-1,3-benzothiazole-2,6-diamine dihydrobromide, showed Ki = 0.12 and >68.3 μM for inhibition of thrombin and trypsin, resp.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y
 COST IN U.S. DOLLARS
 PRINT ESTIMATED COST

| SINCE FILE | TOTAL |
|------------|---------|
| ENTRY | SESSION |
| 66 07 | 221 20 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
|--|------------|---------|
| CA SUBSCRIBER PRICE | ENTRY | SESSION |
| | -7.62 | -7.62 |

STN INTERNATIONAL LOGOFF AT 09:52:56 ON 20 APR 2004